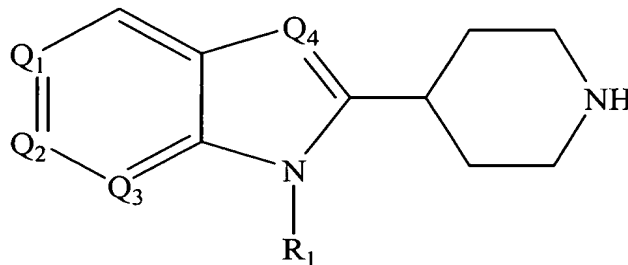


What is claimed is:

1. A compound having the Formula I:



wherein:

5 Q_1 is N or CR_3 ;

Q_2 is N or CR_4 ;

Q_3 is N or CR_{20} ;

Q_4 is N or S;

10 R_1 is H, alkyl, aryl, arylalkyl, heteroaryl; heteroarylalkyl, heterocycloalkyl, arylsulfonyl, aryloxy carbonyl, alkoxyalkoxyalkyl, alkyl-S- R_7 , alkyl-NH-C(=O)- R_8 or - R_9 -X- R_{10} - R_{11})H;

15 wherein each of the alkyl, aryl, arylalkyl heteroaryl, heteroarylalkyl, heterocycloalkyl, arylsulfonyl, aryloxy carbonyl and alkoxyalkoxyalkyl moieties in each of the foregoing R_1 groups can be optionally substituted with up to 5 groups independently selected from the group consisting of C_1 - C_6 alkyl, OH, hydroxyalkyl, -C(=O)- R_5 , CN, aryl, alkoxy carbonyl, alkylaryl, arylalkyl, heteroaryl, S-heteroaryl optionally substituted with halogen, heteroarylalkyl optionally substituted with halogen, heterocycloalkyl optionally substituted with amino, NO_2 , halogen, monohaloalkyl, dihaloalkyl, trihaloalkyl, perhaloaryl, perhaloalkylaryl, alkyl-N R_{15} R_{16} and N R_{15} R_{16} ;

20 or one of said alkyl, aryl, arylalkyl heteroaryl, heteroarylalkyl, heterocycloalkyl, arylsulfonyl, aryloxy carbonyl or alkoxyalkoxyalkyl moieties of one of said R_1 groups can be attached to a structure of Formula I at position R_1 thereof;

R_3 and R_4 are independently each H, halogen, C_1 - C_6 alkyl, trihaloalkyl, alkoxycarbonyl, alkoxy, $NR_{15}R_{16}$, and NO_2 , wherein said C_1 - C_6 alkyl, alkoxycarbonyl, and alkoxy groups can each be optionally substituted with $NR_{15}R_{16}$;

R_5 is H, $-NHNHR_6$, $-NHN=CH-R_6$, heteroaryl, heterocycloalkyl, wherein said
5 hereteroaryl group can be optionally substituted with an aryl or heteroaryl group,

R_6 is aryl, heteroaryl; arylsulfonyl, heteroarylsulfonyl, $-C(=S)-NH$ -aryl, $-C(=S)-NH$ -arylcarbonyl, $-C(=S)-NH$ -heteroarylcarbonyl, $-C(=S)-NH$ -alkylene- R_{21} , $-C(=O)-NH$ -aryl, $-C(=O)-NH$ -arylcarbonyl, $-C(=O)-NH$ -heteroarylcarbonyl, or $-C(=O)-NH$ -alkylene- R_{21} where R_{21} is carboxy, alkoxycarbonyl, aryl, heteroaryl, heterocycloalkyl,
10 arylaminocarbonyl, cycloalkylaminocarbonyl, or a saturated hydrocarbon fused ring system optionally having an aryl ring fused thereto, said ring system being optionally substituted with up to three alkyl groups on the alkyl or aryl rings thereof;

wherein any of said R_6 groups can be optionally substituted with up to 3 groups selected from $NR_{15}R_{16}$, alkyl, hydroxy, halogen, aryl, alkoxy, trihaloalkoxy,
15 arylalkyloxy, NO_2 , $-SH$, $-S$ -alkyl, heteroarylcarbonyl, heteroaryl, alkylheteroaryl, or a moiety of formula $-OC_2CH_2-O-$ attached to adjacent atoms of said R_6 group;

R_7 is heteroaryl or heterocycloalkyl;

R_8 is aryl;

R_9 and R_{10} are each independently alkylene having from 1 to about 20 carbons;

20 X is $-N(R_{12})-$, $-C(R_{13})(R_{14})-$ or O ;

R_{11} is H, heterocycloaryl, or alkoxy, wherein said heterocycloaryl, or alkoxy group can be optionally substituted with up to four groups independently selected from halogen, amino, trihaloalkyl, alkoxycarbonyl, and CN ;

R_{12} is H or C_1 - C_6 alkyl; and

25 R_{13} and R_{14} are each independently H or C_1 - C_6 alkyl.

R_{15} is H, halogen, C_1 - 12 alkyl, methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, branched and straight chain polyaminoalkyl, or a group of formula $CH_2(CHOH)_4CH_2OH$,

wherein said methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl,
30 aminoalkyl, arylcarbonyl, and branched and straight chain polyaminoalkyl groups can be substituted by up to 3 OH groups;

R_{16} is H, halogen, or C_1 - C_6 alkyl;

or R_{15} and R_{16} together with the nitrogen atom to which they are attached can form a succinimido or phthalimido group or a fused ring derivative thereof, wherein said succinimido or phthalimido group or fused ring derivative thereof can be optionally substituted by up to three substituents independently selected from NO_2 and halogen, or a group of Formula I at position R_1 thereof;

or R_{15} and R_{16} together with the nitrogen atom to which they are attached can form a group of Formula I wherein said nitrogen atom is Q_4 thereof;

provided that when R_3 and R_4 are H, R_1 is not:

methyl, $-CH_2-C(=O)-O-A$ where A is a cyclopentacycloocten-8-yl ether, 1-(1-methylcyclophetyl)piperidin-4-yl, 1-(1-phenylcyclophetyl)piperidin-4-yl, or ethoxyethyl.

2. The compound of claim 1 wherein Q_1 is CR_3 , Q_2 is CR_4 , Q_3 is CR_{20} , and Q_4 is N.

3. The compound of claim 2 wherein R_3 and R_4 are each independently halogen, amino, NO_2 , CN, C_{1-6} alkoxy or C_{1-6} alkyl optionally substituted with up to 3 halogen atoms.

4. The compound of claim 2 wherein R_3 and R_4 are each independently halogen, amino, or NO_2 .

5. The compound of claim 2 wherein R_3 and R_4 are each independently halogen.

6. The compound of claim 2 wherein R_3 and R_4 are each chlorine.

7. The compound of claim 2 wherein R_1 is alkyl, alkyl substituted with alkoxy, carbonyl, alkyl substituted with carboxy, or aralkyl where said aryl portion of said aralkyl is phenyl, pyridinyl, or pyrimidinyl, and where said phenyl, pyridinyl, or

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A2
pyrimidinyl portion of said arylalkyl group is optionally substituted with up to 5 substituents selected from halogen, monohaloalkyl, dihaloalkyl, trihaloalkyl, NO₂, alkoxy carbonyl, and alkyl.

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cont
8. The compound of claim 6 wherein R₁ is alkyl, alkyl substituted with alkoxy carbonyl, alkyl substituted with carboxy, or aralkyl where said aryl portion of said aralkyl is phenyl, pyridinyl, or pyrimidinyl, and where said phenyl, pyridinyl, or pyrimidinyl portion of said arylalkyl group is optionally substituted with up to 5 substituents selected from halogen, monohaloalkyl, dihaloalkyl, trihaloalkyl, NO₂, alkoxy carbonyl, and alkyl.

10 9. The compound of claim 7 wherein said phenyl, pyridinyl, or pyrimidinyl portion of said arylalkyl group is optionally substituted with up to 5 substituents selected from CF₃, F, Cl, NO₂, COOCH₃, I, Br, and t-butyl.

15 10. The compound of claim 8 wherein said phenyl, pyridinyl, or pyrimidinyl portion of said arylalkyl group is optionally substituted with up to 5 substituents selected from CF₃, F, Cl, NO₂, COOCH₃, I, Br, and t-butyl.

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A3
11. The compound of claim 2 wherein said R₁ is selected from the radicals shown in Scheme 19, *supra*.

12. The compound of claim 2 wherein R₁ is alkyl substituted with -C(=O)-R₅.

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13. The compound of claim 12 wherein R₅ is -NHNHR₆, or -NHN=CH-R₆.

20 14. The compound of claim 13 wherein R₅ is -NHNHR₆.

15. The compound of claim 13 wherein R₅ is -NHN=CH-R₆.

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cont

16. The compound of claim 14 wherein R_6 is $-C(=O)-NH$ -aryl, $-C(=O)-NH$ -cycloalkyl, $-C(=S)-NH$ -aryl, arylsulfonyl, heteroarylsulfonyl, heterocycloalkyl, arylaminocarbonyl, cycloalkylaminocarbonyl, $-C(=S)-NH$ -alkylene- R_{21} where R_{21} is heteroaryl or heterocycloaryl, or a saturated hydrocarbon fused ring system optionally having an aryl ring fused thereto, said ring system being optionally substituted with up to three alkyl groups on the alkyl or aryl rings thereof;

wherein any of said R_6 groups can be optionally substituted with up to 3 groups selected from NR_{15} , R_{16} , NO_2 , a moiety of formula $-OC_2CH_2-O-$ attached to adjacent atoms of said R_6 group, aryl, C_{1-6} alkoxy, carboxy, or C_{1-6} trihaloalkoxy.

17. The compound of claim 15 wherein R_6 is aryl or heteroaryl optionally substituted with up to 3 groups selected from OH , C_{1-6} alkoxy, NO_2 , C_{1-6} trihaloalkoxy, C_{1-6} trihaloalkyl, aryl, arylalkoxy, and a moiety of formula $-OC_2CH_2-O-$ attached to adjacent atoms of said R_6 group.

18. The compound of claim 14 wherein said R_6 is any of the radicals shown in Scheme 16, *supra*.

19. The compound of claim 15 wherein said R_6 is any of the radicals shown in Scheme 15, *supra*.

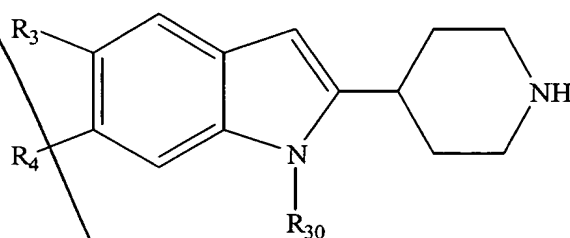
20. The compound of claim 6 wherein R_1 has the formula $-(CH_2)_q-L_4$ where q is 0 to 6 and L_4 is aryl, heteroaryl or heterocycloalkyl, arylsulfonamino, arylcarboxyamino or $-S$ -heteroaryl, where each of said L_4 is optionally substituted with up to three substituents selected from halogen and NO_2 .

21. The compound of claim 20 wherein said L_4 is N -maleimidyl, N -succinimidyl, N -phthalimidyl, N -naphthalimidyl, N -pyromellitic diimidyl, phenylsulfonamidyl, phenylcarboxamidyl, N -benzopyrrolidinyl, benzimidazol-1-yl, benzimidazol-2-yl, 1,2,4-triazolyl-4-yl, or purinyl, each of said L_4 groups being optionally

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cont

substituted with 1 or 2 substituents selected from halogen, trihaloalkyl, trihaloalkoxy and NO₂.

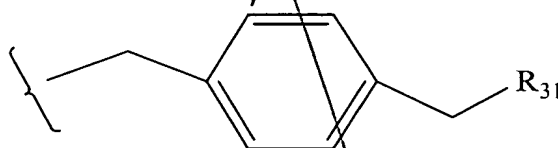
22. The compound of claim 1 having the formula:



5 wherein:

R₃ and R₄ are independently each H, halogen, C₁-C₆ alkyl, C₁-C₆ alkoxy, trihaloalkyl, alkoxycarbonyl, alkoxy, NR₁₅R₁₆, or NO₂;

R₃₀ is C₁₋₆ alkyl, heteroarylalkyl, arylalkyl, or heteroaryl, wherein each of said heteroarylalkyl, arylalkyl, or heteroaryl groups each can be optionally substituted with
10 up to three substituents selected from halogen, NO₂, and mono-, di-, or trihaloalkyl;
or R₃₀ has the structure XX:



XX

wherein R₃₁ is alkylamino, aminoalkylamino, poly(aminoalkyl)amino,
15 heterocycloalkylamino, heterocycloalkyl, -NH-(CHOH)₄-CH₂OH, -NH-(CH₂)₁₋₁₂-
heteroaryl or -NH-(CH₂)₁₋₁₂-heterocycloalkyl.

23. The compound of claim 22 wherein R₃₀ has the structure XX.

24. The compound of claim 23 wherein R₃₁ is heterocycloalkylamino.

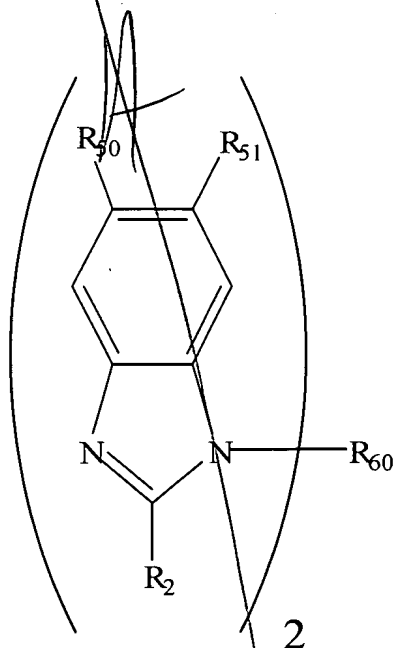
20 25. The compound of claim 23 wherein R₃₁ is alkylamino.

26. The compound of claim 23 wherein R_{31} is aminoalkylamino.
27. The compound of claim 23 wherein R_{31} is poly(aminoalkyl)amino.
28. The compound of claim 23 wherein R_{31} is heterocycloalkylamino.
29. The compound of claim 23 wherein R_{31} is heterocycloalkyl.
5 30. The compound of claim 23 wherein R_{31} is $-\text{NH}-(\text{CH}_2)_{1-12}$ -heteroaryl.
31. The compound of claim 23 wherein R_{31} is $-\text{NH}-(\text{CH}_2)_{1-12}$ -heterocycloalkyl.
32. The compound of claim 22 wherein R_{31} is any of the radicals shown in

Example 11, *supra*.

33. The compound of claim 22 wherein R_1 is pyridin-4-yl-methyl, pyridin-3yl-
10 methyl, 4-fluorophen-1-yl-methyl, 4-nitrophen-1-yl-methyl, 4-(bromomethyl)phen-1-yl-
methyl, pyrimidine-2-yl, or 2,4-dinitrophen-1-yl.

34. A compound having the structure:



wherein:

- 15 R_2 is NH_2 or piperidin-4-yl;

R₅₀ and R₅₁ are each independently selected from H, halogen, C₁-C₆ alkyl, trihaloalkyl, alkoxy carbonyl, alkoxy, NR₁₅R₁₆, and NO₂, wherein said C₁-C₆ alkyl, alkoxy carbonyl, and alkoxy groups can each be optionally substituted with NR₁₅R₁₆;

R₁₅ is H, halogen, C₁-₁₂ alkyl, methyl carbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, aryl carbonyl, branched and straight chain polyaminoalkyl, or
5 a group of formula CH₂(CHOH)₄CH₂OH,

wherein said methyl carbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, aryl carbonyl, and branched and straight chain polyaminoalkyl groups can be substituted by up to 3 OH groups;

10 R₁₆ is H, halogen, or C₁-C₆ alkyl;

or R₁₅ and R₁₆ together with the nitrogen atom to which they are attached can form a succinimido or phthalimido group or a fused ring derivative thereof, wherein said succinimido or phthalimido group or fused ring derivative thereof can be optionally substituted by up to three substituents independently selected from NO₂ and halogen;

15 R₆₀ is alkylene having from 1 to 18 carbons, or -R₉-X-R₁₀-H;

R₉ and R₁₀ are each independently alkylene having from 1 to about 20 carbons;

X is -N(R₁₂)-, -C(R₁₃)(R₁₄)-, or O; and

R₁₂, R₁₃ and R₁₄ are each independently H or C₁-C₆ alkyl.

35. The compound of claim 34 wherein R₂ is piperidin-4-yl.

20 36. The compound of claim 35 wherein R₅₀ and R₅₁ are each halogen.

37. The compound of claim 35 wherein R₅₀ and R₅₁ are each chlorine.

38. The compound of claim 37 wherein R₆₀ is alkylene having from 1 to 6 carbons.

25 39. The compound of claim 37 wherein R₆₀ is alkylene having from 1 to 4 carbons.

40. The compound of claim 37 wherein R₆₀ is -CH₂-C₆H₄-CH₂-.

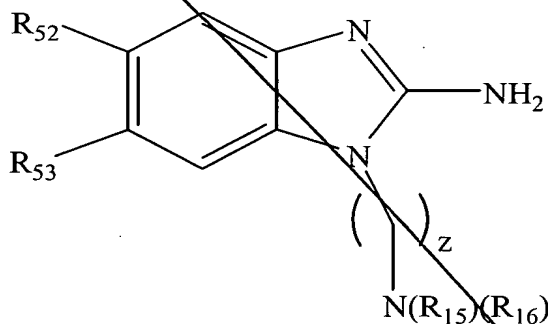
41. The compound of claim 37 wherein R₆₀ is para-CH₂-C₆H₄-CH₂-.

42. The compound of claim 34 wherein R₂ is NH₂.

30 43. The compound of claim 42 wherein R₅₀ and R₅₁ are each independently selected from H, halogen, methyl, COOCH₃, CN and CF₃.

44. The compound of claim 43 wherein R₆₀ is -R₉-X-R₁₀-.

45. The compound of claim 44 wherein X is $-N(R_{12})-$.
46. The compound of claim 45 wherein R_{12} is methyl and R_9 and R_{10} are each $(CH_2)_2$ or $(CH_2)_3$.
47. The compound of claim 46 wherein R_{50} and R_{51} are each halogen.
- 5 48. The compound of claim 46 wherein R_{50} and R_{51} are each H.
49. The compound of claim 46 wherein R_{50} is Br and R_{51} is H.
50. The compound of claim 46 wherein R_{50} is CH_3 and R_{51} is H.
51. The compound of claim 46 wherein R_{50} is $COOCH_3$ and R_{51} is H.
52. The compound of claim 46 wherein R_{50} is CF_3 and R_{51} is H.
- 10 53. The compound of claim 46 wherein R_{50} is CN and R_{51} is H.
54. The compound of claim 44 wherein X is O.
55. The compound of claim 54 wherein R_9 and R_{10} are each $(CH_2)_2$ or $(CH_2)_3$.
56. The compound of claim 55 wherein R_{50} and R_{51} are each halogen.
57. The compound of claim 55 wherein R_{50} and R_{51} are each H.
- 15 58. The compound of claim 55 wherein R_{50} is Br and R_{51} is H.
59. The compound of claim 55 wherein R_{50} is CH_3 and R_{51} is H.
60. The compound of claim 55 wherein R_{50} is $COOCH_3$ and R_{51} is H.
61. The compound of claim 55 wherein R_{50} is CF_3 and R_{51} is H.
62. The compound of claim 55 wherein R_{50} is CN and R_{51} is H.
- 20 63. A compound of formula:



wherein:

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cont

~~R₅₂ and R₅₃ are each independently selected from H, halogen, C₁-C₆ alkyl, trihaloalkyl, alkoxycarbonyl, alkoxy, NR₁₅R₁₆, and NO₂, wherein said C₁-C₆ alkyl, alkoxycarbonyl, and alkoxy groups can each be optionally substituted with NR₁₅R₁₆;~~

~~R₁₅ is H, halogen, C₁-12 alkyl, methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, branched and straight chain polyaminoalkyl, or a group of formula CH₂(CHOH)₄CH₂OH;~~

~~wherein said methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, and branched and straight chain polyaminoalkyl groups can be substituted by up to 3 OH groups;~~

10 ~~R₁₆ is H, halogen, or C₁-C₆ alkyl;~~

~~or R₁₅ and R₁₆ together with the nitrogen atom to which they are attached can form a succinimido or phthalimido group or a fused ring derivative thereof, wherein said succinimido or phthalimido group or fused ring derivative thereof can be optionally substituted by up to three substituents independently selected from NO₂ and halogen; and~~

15 ~~z is 1 to 6.~~

~~64. The compound of claim 63 wherein R₁₅ and R₁₆ are each methyl.~~

~~65. The compound of claim 64 wherein z is 2 or 3.~~

~~66. The compound of claim 65 wherein R₅₂ and R₅₃ are each independently H, C₁₋₆ alkyl, alkoxy optionally substituted with dialkylamino, or alkylamino.~~

20 ~~67. The compound of claim 66 wherein R₅₂ is H.~~

~~68. The compound of claim 67 wherein R₅₃ is methyl, methoxy, alkoxy optionally substituted with dialkylamino, or alkylamino.~~

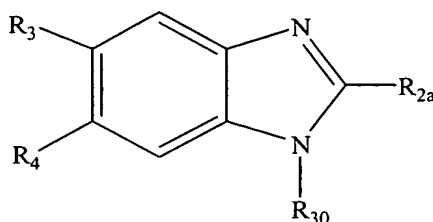
~~69. The compound of claim 67 wherein R₅₃ is OCH₃ or O(CH₂)₃N(CH₃)₂.~~

~~70. The compound of claim 66 wherein R₅₃ is H.~~

25 ~~71. The compound of claim 70 wherein R₅₂ is methyl, methoxy, alkoxy optionally substituted with dialkylamino, or alkylamino.~~

~~72. The compound of claim 70 wherein R₅₂ is OCH₃ or O(CH₂)₃N(CH₃)₂.~~

~~73. A compound of Formula:~~



wherein:

R_{2a} is amino, phenyl, mono- or bicyclic heterocycloalkyl having 1 or 2 ring nitrogen atoms, mono- or bicyclic heteroaryl having 1 or 2 ring nitrogen atoms, cycloalkyl, halogen, heterocycloalkylalkyl (i.e., alkyl sub w' heterocycloalkyl) having 1 or 2 ring nitrogen atoms, mono- or bicyclic heterocycloalkylamino having 1 or 2 ring nitrogen atoms or a group of formula -S-alkylene- L_1 where L_1 is mono- or bicyclic-heteroaryl having 1 or 2 ring nitrogen atoms;

wherein each of said amino, phenyl, heterocycloalkyl, heteroaryl, cycloalkyl, heterocycloalkylalkyl, or heterocycloalkylamino groups can be optionally substituted with a group selected from amino, OH, C_1 - C_{12} alkyl, a structure of formula -C(=O)CH(NH₂)- L_2 where L_2 is the side chain of a naturally occurring alpha amino acid, -C(NH₂)=NH, C_1 - C_{12} alkylcarbonyl, mono- or bicyclic heteroaryl having 1 or 2 ring nitrogen atoms, mono- or bicyclic heteroarylalkyl having 1 or 2 ring nitrogen atoms, or S-alkyl-heteroaryl where said heteroaryl is mono- or bicyclic having 1 or 2 ring nitrogen atoms; and

R_3 and R_4 are each independently halogen, amino, NO₂, CN, C_{1-6} alkoxy or C_{1-6} alkyl optionally substituted with up to 3 halogen atoms; and

R_{30} is H, alkyl, aryl, arylalkyl, heteroaryl; heteroarylalkyl, heterocycloalkyl, arylsulfonyl, aryloxycarbonyl, alkoxyalkoxyalkyl, alkyl-S- R_7 , alkyl-NH-C(=O)- R_8 or - R_9 -X- R_{10} - R_{11})H;

wherein each of the alkyl, aryl, arylalkyl heteroaryl, heteroarylalkyl, heterocycloalkyl, arylsulfonyl, aryloxycarbonyl and alkoxyalkoxyalkyl moieties in each of the foregoing R_1 groups can be optionally substituted with up to 3 groups independently selected from the group consisting of C_1 - C_6 alkyl, OH, hydroxyalkyl, -C(=O)- R_5 , CN, aryl, alkoxyalkoxyalkyl, alkylaryl, arylalkyl, heteroaryl, S-heteroaryl optionally substituted with

halogen, heteroarylalkyl optionally substituted with halogen, heterocycloalkyl optionally substituted with amino, NO₂, halogen, monohaloalkyl, dihaloalkyl, trihaloalkyl, perhaloaryl, perhaloalkylaryl, alkyl-NR₁₅R₁₆ and NR₁₅R₁₆;

or one of said alkyl, aryl, arylalkyl heteroaryl, heteroarylalkyl,

- 5 heterocycloalkyl, arylsulfonyl, aryloxy carbonyl or alkoxyalkoxyalkyl moieties of one of said R₁ groups can be attached to a structure of Formula I at position R₁ thereof;

R₅ is H, -NHNHR₆, -NHN=CH-R₆, heteroaryl, heterocycloalkyl, wherein said heteroaryl group can be optionally substituted with an aryl or heteroaryl group,

- R₆ is aryl, heteroaryl; arylsulfonyl, heteroarylsulfonyl, -C(=S)-NH-aryl, -
10 C(=S)-NH-arylcarbonyl, -C(=S)-NH-heteroarylcarbonyl, -C(=S)-NH-alkylene-R₂₁, -
C(=O)-NH-aryl, -C(=O)-NH-arylcarbonyl, -C(=O)-NH-heteroarylcarbonyl, or -C(=O)-
NH-alkylene-R₂₁ where R₂₁ is carboxy, alkoxy carbonyl, aryl, heteroaryl, heterocycloalkyl, arylaminocarbonyl, cycloalkylaminocarbonyl, or a saturated hydrocarbon fused ring system optionally having an aryl ring fused thereto, said ring system being optionally
15 substituted with up to three alkyl groups on the alkyl or aryl rings thereof;

wherein any of said R₆ groups can be optionally substituted with up to 3 groups selected from NR₁₅R₁₆, alkyl, hydroxy, halogen, aryl, alkoxy, trihaloalkoxy, arylalkyloxy, NO₂, -SH, -S-alkyl, heteroarylcarbonyl, heteroaryl, alkylheteroaryl, or a moiety of formula -OC₂CH₂-O- attached to adjacent atoms of said R₆ group;

- 20 R₇ is heteroaryl or heterocycloalkyl;

R₈ is aryl;

R₉ and R₁₀ are each independently alkylene having from 1 to about 20 carbons;

X is -N(R₁₂)-, -C(R₁₃)(R₁₄)- or O;

- 25 R₁₁ is H, heterocycloaryl or alkoxy, wherein said heterocycloaryl or alkoxy group can be optionally substituted with up to four groups independently selected from halogen, amino, trihaloalkyl, alkoxy carbonyl, and CN;

R₁₂ is H or C₁-C₆ alkyl; and

R₁₃ and R₁₄ are each independently H or C₁-C₆ alkyl;

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Cont

~~R₁₅ is H, halogen, C₁₋₁₂ alkyl, methylcarbonyl, heterocycloalkyl, arylsulfonyl, heteroarylalkyl, aminoalkyl, arylcarbonyl, branched and straight chain polyaminoalkyl, or a group of formula CH₂(CHOH)₄CH₂OH,~~

- ~~wherein said methylcarbonyl, heterocycloalkyl, arylsulfonyl,~~
- 5 ~~heteroarylalkyl, aminoalkyl, arylcarbonyl, and branched and straight chain polyaminoalkyl groups can be substituted by up to 3 OH groups;~~

~~R₁₆ is H, halogen, or C₁-C₆ alkyl;~~

- ~~or R₁₅ and R₁₆ together with the nitrogen atom to which they are attached can form a succinimido or phthalimido group or a fused ring derivative thereof, wherein~~
- 10 ~~said succinimido or phthalimido group or fused ring derivative thereof can be optionally substituted by up to three substituents independently selected from NO₂ and halogen, or a group of Formula I at position R₁ thereof;~~

~~or R₁₅ and R₁₆ together with the nitrogen atom to which they are attached can form a group of Formula I wherein said nitrogen atom is Q₄ thereof;~~

- 15 74. The compound of claim 73 wherein R₃ and R₄ are each halogen.

75. The compound of claim 73 wherein R₃ and R₄ are each chlorine.

76. The compound of claim 73 wherein R_{2a} is amino, Cl, phenyl, monocyclic heterocycloalkyl having 1 or 2 ring nitrogen atoms, monocyclic heteroaryl having 1 ring nitrogen atom, cyclopentyl, cyclohexyl, heterocycloalkyl-methyl, piperidine-4-yl amino or
- 20 a group of formula -S-(C₂₋₄ alkylene)-N-phthalimido;

- ~~wherein each of said phenyl, heterocycloalkyl heteroaryl, cyclopentyl, cyclohexyl, heterocycloalkyl-methyl, and piperidine-4-yl amino groups can be optionally substituted with a group selected from NH₂, OH, CH₃, COOCH₃, a structure of formula -C(=O)CH(NH₂)-L₂ where L₂ is a serine or threonine side chain, -C(NH₂)=NH,~~
- 25 benzimidazolyl, or benzimidazolemethyl.

77. The compound of claim 75 wherein R_{2a} is amino, Cl, phenyl, monocyclic heterocycloalkyl having 1 or 2 ring nitrogen atoms, monocyclic heteroaryl having 1 ring

nitrogen atom, cyclophenyl, cyclohexyl, heterocycloalkyl-methyl, piperidine-4-yl amino or a group of formula -S-(C₂₋₄ alkylene)-N-phthalimido;

wherein each of said phenyl, heterocycloalkyl heteroaryl, cyclophenyl, cyclohexyl, heterocycloalkyl-methyl, and piperidine-4-yl amino groups can be optionally substituted with a group selected from NH₂, OH, CH₃, COOCH₃, a structure of formula -C(=O)CH(NH₂)-L₂ where L₂ is a serine or threonine side chain, -C(NH₂)=NH, benzimidazole, or benzimidazolemethyl.

78. The compound of claim 73 wherein R_{2a} is amino, Cl, piperidinyl, pyridinyl, phenyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperazinyl, -CH₂-piperazinyl, piperidine-4-yl-amino or S-alkyl-phthalyl, wherein said piperidinyl, pyridinyl, phenyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperazinyl, -CH₂-piperazinyl, or S-alkyl-phthalyl groups can be optionally substituted with a group selected from NH₂, methylcarbonyl, -C(=O)CH(NH₂)-CH₂OH, methyl, OH, -C(NH₂)=NH, OH, benzimidazole-2-yl, and -CH₂-benzimidazole-2-yl.

79 The compound of claim 75 wherein R_{2a} is amino, Cl, piperidinyl, pyridinyl, phenyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperazinyl, -CH₂-piperazinyl, piperidine-4-yl-amino or S-alkyl-phthalyl, wherein said piperidinyl, pyridinyl, phenyl, cyclopentyl, cyclohexyl, pyrrolidinyl, piperazinyl, -CH₂-piperazinyl, or S-alkyl-phthalyl groups can be optionally substituted with a group selected from NH₂, methylcarbonyl, -C(=O)CH(NH₂)-CH₂OH, methyl, OH, -C(NH₂)=NH, OH, benzimidazole-2-yl, and -CH₂-benzimidazole-2-yl.

80. The compound of claim 73 wherein R_{2a} is amino, Cl, pyridin-4-yl, phenyl substituted with amino, cyclopentyl substituted with amino, cyclohexyl optionally substituted with amino, pyrrolidin-2-yl optionally substituted by hydroxy, piperazin-1-yl optionally substituted at the 4-yl position by benzimidazole-2-yl, piperazin-1-yl-methyl optionally substituted at the 4-yl position by -CH₂-benzimidazole-2-yl, piperidine-4-yl-amino, piperidin-1-yl substituted by amino, S-alkyl-phthalyl, or said R₂ is piperidin-4-yl

optionally substituted at the 1-yl position with $-C(=O)CH_3$, $-C(=O)CH(NH_2)-CH_2OH$, $-C(NH_2)=NH$, or CH_3 .

B₂
cont

81. The compound of claim 75 wherein R_{2a} is amino, Cl, pyridin-4-yl, phenyl substituted with amino, cyclopentyl substituted with amino, cyclohexyl optionally substituted with amino, pyrrolidin-2-yl optionally substituted by hydroxy, piperazin-1-yl optionally substituted at the 4-yl position by benzimidazole-2-yl, piperazin-1-yl-methyl optionally substituted at the 4-yl position by $-CH_2$ -benzimidazole-2-yl, piperidine-4-yl-amino, piperidin-1-yl substituted by amino, S-alkyl-phthalyl, or said R_2 is piperidin-4-yl optionally substituted at the 1-yl position with $-C(=O)CH_3$, $-C(=O)CH(NH_2)-CH_2OH$, $-C(NH_2)=NH$, or CH_3 .

82. The compound of claim 73 wherein R_{2a} is amino, piperidin-4-yl-amino, piperiazine-1-yl optionally substituted with benzimidazole-2-yl, pyridin-4-yl, piperidin-4-yl optionally substituted at the 1-yl position with $-C(=O)CH_3$, $-C(=O)CH(NH_2)-CH_2OH$, $-C(NH_2)=NH$, or CH_3 , 4-amino-piperidin-1-yl, 3-amino-phen-1-yl, 3-amino-cyclopent-1-yl, cyclohexyl optionally substituted at the 3-yl or 4-yl position with NH_2 , 4-hydroxypyrrolidin-2-yl, piperazin-1-yl-methyl, 4-(benzimidazole-2-yl-methyl)piperazin-1-yl-methyl, or S-alkyl-phthalyl where said alkyl has from 2 to 4 carbons.

83. The compound of claim 73 wherein R_{2a} is piperidin-4-yl optionally substituted at the 1-yl position with $-C(=O)CH_3$, $-C(=O)CH(NH_2)-CH_2OH$, $-C(NH_2)=NH$, or CH_3 .

84. The compound of claim 75 wherein R_{2a} is piperidin-4-yl optionally substituted at the 1-yl position with $-C(=O)CH_3$, $-C(=O)CH(NH_2)-CH_2OH$, $-C(NH_2)=NH$, or CH_3 .

85. The compound of claim 73 wherein R_{2a} is piperidin-4-yl.

86. The compound of claim 75 wherein R_{2a} is piperidin-4-yl.

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cont

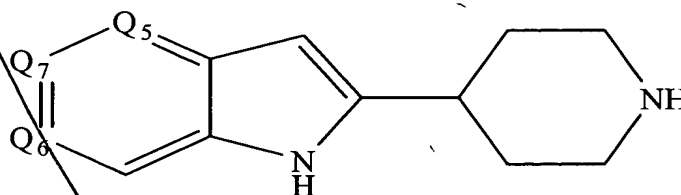
87. The compound of claim 73 wherein R_{2a} is NH_2 .
88. The compound of claim 75 wherein R_{2a} is NH_2 .
89. The compound of claim 86 wherein R_{30} is alkyl substituted with $-C(=O)-R_5$.
90. The compound of claim 89 wherein R_5 is $-NHNHR_6$, or $-NHN=CH-R_6$.
- 5 91. The compound of claim 90 wherein R_5 is $-NHNHR_6$.
92. The compound of claim 90 wherein R_5 is $-NHN=CH-R_6$.
93. The compound of claim 91 wherein R_6 is $-C(=O)-NH$ -aryl, $-C(=O)-NH$ -cycloalkyl, $-C(=S)-NH$ -aryl, arylsulfonyl, heteroarylsulfonyl, heterocycloalkyl, arylaminocarbonyl, cycloalkylaminocarbonyl, $-C(=S)-NH$ -alkylene- R_{21} where R_{21} is
10 heteroaryl or heterocycloaryl, or a saturated hydrocarbon fused ring system optionally having an aryl ring fused thereto, said ring system being optionally substituted with up to three alkyl groups on the alkyl or aryl rings thereof;
wherein any of said R_6 groups can be optionally substituted with up to 3 groups selected from $NR_{15}R_{16}$, NO_2 , a moiety of formula $-OC_2CH_2-O-$ attached to adjacent atoms
15 of said R_6 group, aryl, C_{1-6} alkoxy, carboxy, or C_{1-6} trihaloalkoxy.
94. The compound of claim 92 wherein R_6 is aryl or heteroaryl optionally substituted with up to 3 groups selected from OH , C_{1-6} alkoxy, NO_2 , C_{1-6} trihaloalkoxy, C_{1-6} trihaloalkyl, aryl, arylalkyloxy, and a moiety of formula $-OC_2CH_2-O-$ attached to adjacent atoms of said R_6 group.
- 20 95. A compound as described in Table, *supra*.
96. The compound of claim 86 wherein R_{30} has the formula $-(CH_2)_q-L_4$ where q is 0 to 6 and L_4 is aryl, heteroaryl or heterocycloalkyl, arylsulfonamino, arylcarboxyamino

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cont

or -S-heteroaryl, where each of said L₄ is optionally substituted with up to three substituents selected from halogen and NO₂.

97. The compound of claim 96 wherein said L₄ is maleimido, succinimido, phthalimido, naphthalimido, pyromellitic diimido, phenylsulfonamido, 5 phenylcarboxamido, benzopyrrolidine, benzimidazole, triazole, or -S-benzimidazole.

98. A compound of Formula:



wherein:

Q₅ is CH or N;

Q₆ is C-R₆₁ or N;

Q₇ is C-R₆₀ or N;

R₆₀ and R₆₁ are each independently H, halogen, C₁₋₆ alkyl, trihaloalkyl, or C₁₋₆ alkoxy;

provided that when Q₆ is C-R₆₁, Q₇ is C-R₆₀ and Q₅ is CH, then R₆₀ and R₆₁ are not

both H.

99. The compound of claim 98 wherein Q₅ is N.

100. The compound of claim 98 wherein Q₆ is N.

101. The compound of claim 98 wherein Q₇ is N.

101. The compound of claim 98 wherein Q₅ is N, Q₆ is C-R₆₁ and Q₇ is C-R₆₀.

102. The compound of claim 98 wherein Q₇ is N, Q₆ is C-R₆₁ and Q₅ is CH.

103. The compound of claim 98 wherein Q₅ is N, Q₆ is N and Q₇ is C-R₆₀.

104. The compound of claim 98 wherein Q₅ is CH, Q₆ is R₆₁ and Q₇ is C-R₆₀.

105. The compound of claim 104 wherein R_{60} and R_{61} are each independently H, Br, Cl, methoxy, methyl or trifluoromethyl.

106. The compound of claim 104 wherein R_{60} is OCH_3 and R_{61} is H, or R_{60} is CH_3 and R_{61} is H, or R_{60} is Br and R_{61} is H, or R_{60} is Cl and R_{61} is H, or R_{60} is CF_3 and R_{61} is H, or R_{60} is Cl and R_{61} is CH_3 , or R_{60} and R_{61} are both Cl.

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